PATENT PFIZER ANN ARBOR MI

PC 5711-DI-01-CMB

1

Appl. No. 10/038,006 Amdt. dated August 10, 2004 Reply to Office Action of June 10, 2004

Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

Claim 1 (Currently Amended):

A compound according to Formula I

$$L = \begin{bmatrix} X_3 \\ X_2 \\ X_1 \end{bmatrix} = \begin{bmatrix} X_4 \\ X_2 \\ X_2 \end{bmatrix} = \begin{bmatrix} X_4 \\ X_2 \\ X_1 \end{bmatrix} = \begin{bmatrix} X_4 \\ X_2 \\ X_2 \end{bmatrix} = \begin{bmatrix} X_4 \\ X_2 \\ X_1 \end{bmatrix} = \begin{bmatrix} X_4 \\ X_2 \\ X_2 \end{bmatrix} = \begin{bmatrix} X_4 \\ X_2 \\ X_1 \end{bmatrix} = \begin{bmatrix} X_4 \\ X_2 \\ X_2 \end{bmatrix} = \begin{bmatrix} X_4 \\ X_2 \\ X_2 \end{bmatrix} = \begin{bmatrix} X_4 \\ X_2 \\ X_1 \end{bmatrix} = \begin{bmatrix} X_4 \\ X_2 \\ X_2 \end{bmatrix} = \begin{bmatrix} X_4 \\ X_2 \\ X_$$

or stereoisomers or pharmaceutically acceptable salts, esters, or amides thereof, wherein: A is selected from NCH₂, N(alkyl)CH₂, CH₂N(alkyl);

B is selected from H, (C₃₋₂₀)alkyl, cycloalkyl, heteroalkyl, cycloalkylalkyl, heteroalkylalkyl, aryl, arylalkyl, heterocycle, heterocycloalkyl, each optionally substituted with R₁ and R₂;

D is selected from H, (C₃₋₂₀)alkyl, cycloalkyl, heteroalkyl, cycloalkylalkyl, heteroalkylalkyl, aryl, arylalkyl, heterocycle, heterocycloalkyl, each optionally substituted with R₁ and R₂;

E is absent or selected from O, S, NH;

F is selected from N, NCH₂, CH₂N;

G is absent or selected from alkyl, alkyl interrupted by one or more heteroatoms, cycloalkyl, cycloalkyl interrupted by one or more heteroatoms;

J is absent or selected from aryl or heterocycle each optionally substituted with R_1 and R_2 ;

P.006/010 F-428

T-803

PATENT PFIZER ANN ARBOR MI

K is absent or selected from an alkyl, alkyl interrupted by one or more heteroatoms, cycloalkyl interrupted by one or more heteroatoms, cycloalkylalkyl interrupted by one or more heteroatoms, each optionally substituted with R₁ and R₂;

L is selected from H, chlorine, fluorine, bromine, iodine, OH, O(alkyl), amine, alkyl, fluoroalkyl, amide, NO2, SH, S(O)n(alkyl), SO3H, SO3alkyl, aldehyde, ketone, acid, ester, urea, Oalkylamide, Oalkylester, Oalkylacid, Nalkylacid, alkylamine, alkylamide, alkylketone, alkylacid, alkylester, alkylurea, Nalkylamide, Nalkylester, NC(=O)alkyl, NC(=O)aryl, NC(=O)cycloalkyl, NC(=O)cycloalkylalkyl, NC(=O) alkylaryl, R₁, R₂, nitrile:

R₁ is selected from H, amine, alkylamine, amide, C(=NH)NHNH₂, alkylC(=NH)NHNH2, C(=NH)NHOH, alkylC(=NH)NHOH, NHC(=NH)NH2. alkylNHC(=NH)NH2, C(=S)NH2, alkylC(=S)NH2, C(=NH)alkyl, alkylC(=NH)alkyl, $C(=NR_3)N(R_4)(R_5)$, alkyl $C(=NR_3)N(R_4)(R_5)$;

R2 is selected from H, chlorine, fluorine, bromine, iodine, OH, Oalkyl, amine, alkylaldehyde, alkylamide, alkylester, alkylketone, alkylacid, Oalkylamide, Oalkylacid, Oalkylester, aninealkylacid, aminealkylamide, aminealkylester, NC(=O)alkyl, NC(=O)aryl, NC(=O)cycloalkyl, NC(=O)alkylaryl, alkylamine, amide, aldehyde, ester, ketone, NO2, SH, S(O)n(C1-10alkyl), SO3H, SO3alkyl, CHO, acid, alkyl, C(=NH)alkyl, C(=NH)NHNH2, alkylC(=NH)NHNH2, C(=NH)NHOH, alkylC(=NH)NHOH. NHC(=NH)NH2, alkylNHC(=NH)NH2, C(=S)NH2, alkylC(=S)NH2, alkylC(=NH)alkyl, $C(=NR_3)N(R_4)(R_5)$, alkyl $C(=NR_3)N(R_4)(R_5)$;

R₃, R₄, and R₅ are a hydrogen atom, alkyl group having 1 to 4 carbon atoms optionally interrupted by a heteroatom, or R₄ and R₅ are bonded to form -(CH₂)_p-W-(CH₂)_q-, wherein p and q are an integer of 2 or 3, a certain position on the methylene chain is unsubstituted or substituted by an alkyl group having 1 to 4 carbon atoms, W is a direct bond, $-CH_2$ -, -O-, $-N(R_6)$ -, or $-S(O)_r$ - wherein R_6 is H or alkyl, and r is 0 or 1 or 2; n is selected from 0, 1, 2;

 X_1 is C or N;

Appl. No. 10/038,006 Amdt. dated August 10, 2004 Reply to Office Action of June 10, 2004 PC 5711-DI-01-CMB

 X_2 is C or N;

X₃ is C or N;

 X_4 is C or N; and

--- represents an optional additional bond when A is N.

Claim 2 (currently amended): A compound according to Claim 1 wherein the compound is according to Formula II

or stereoisomers or pharmaceutically acceptable salts, esters, or amides, or prodrugs thereof, wherein A, B, E, G, J, K, and L are as defined above.

PC 5711-DI-01-CMB

Appl. No. 10/038,006 Amdt. dated August 10, 2004 Reply to Office Action of June 10, 2004

Claim 3 (currently amended): A compound according to Claim 1 wherein the compound is according to Formula III

or stereoisomers or pharmaceutically acceptable salts, esters, or amides, or prodrugs thereof, wherein A is N or Nalkyl, and B, G, J, K, L, and --- are as defined above.

Claims 4 – 15 (cancelled)

Claim 16 (original): A method for the treatment or prophylaxis of thrombotic disorders in a mammal comprising administering to said mammal an effective amount of a compound according to Claim 1.

Claim 17 (original): A method according to Claim 16, wherein said disorder is venous thrombosis.

Claim 18 (original): A method according to Claim 16, wherein said disorder is arterial thrombosis.

Claim 19 (original): A method according to Claim 16, wherein said disorder is pulmonary embolism.

Claim 20 (original): A method according to Claim 16, wherein said disorder is myocardial infarction.

Page 5 of 7

G:\Bott\5711 D1\Reply to election of species2.doc

Appl. No. 10/038,006 Amdt. dated August 10, 2004 Reply to Office Action of June 10, 2004 PC 5711-DI-01-CMB

Claim 21 (original): A method according to Claim 16, wherein said disorder is cerebral infarction.

Claim 22 (original): A method according to Claim 16, wherein said disorder is restenosis.

PATENT PFIZER ANN ARBOR MI

Claim 23 (original): A method according to Claim 16, wherein said disorder is cancer.

Claim 24 (original): A method according to Claim 16, wherein said disorder is angina.

Claim 25 (original): A method according to Claim 16, wherein said disorder is diabetes.

Claim 26 (original): A method according to Claim 16, wherein said disorder is heart failure.

Claim 27 (original): A method according to Claim 16, wherein said disorder is atrial fibrillation.

Claim 28 (original): A pharmaceutical formulation comprising a compound of Claim 1 admixed with a carrier, diluent, or excipient.

Claim 29 (original): A pharmaceutical formulation comprising a compound of Claim 2 together with a carrier, diluent, or excipient.

Claim 30 (cancelled)

Claim 31 (original): A method for inhibiting serine proteases comprising administering to a mammal an effective amount of serine protease inhibitor of Claim 1.

A method according to Claim 31, wherein said serine protease is Claim 32 (original): factor Xa.